10/684,212

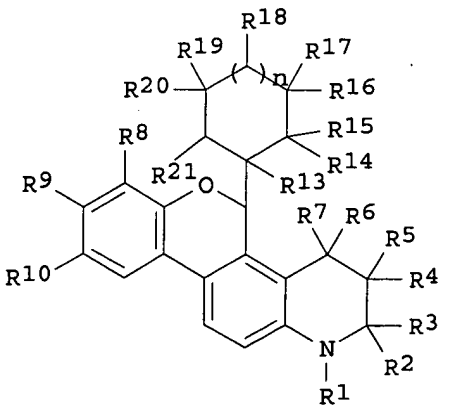
STN- Structure Search 10/684,212

=> d ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:85345 CAPLUS
DOCUMENT NUMBER: 143:7696
TITLE: Preparation of 5-cycloalkenyl 5H-chromeno[3,4-f]quinoline derivatives as selective progesterone receptor modulator compounds
INVENTOR(S): Zhi, Lin; Van Oeveren, Cornelis Arjan; Pedram, Bijan; Karanewsky, Donald
PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA
SOURCE: Short-Term Pat. Specif. (Hong Kong), 90 pp.
CODEN: HKXXAR
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HK 1055058	A2	20031205	HK 2003-105597	20030804
CA 2500758	AA	20040422	CA 2003-2500758	20030804
WO 2004033460	A1	20040422	WO 2003-US24419	20030804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1551845	A1	20050713	EP 2003-808051	20030804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004152718	A1	20040805	US 2003-684229	20031010
PRIORITY APPLN. INFO.:			US 2002-417975P P	20021011
			WO 2003-US24419 W	20030804

OTHER SOURCE(S): MARPAT 143:7696
GI



I

AB What is claimed is a compound of I wherein: R1 is H, C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 heteroalkyl, COR11, CO2R11, SO2R11, or CONR11R12; R2 and

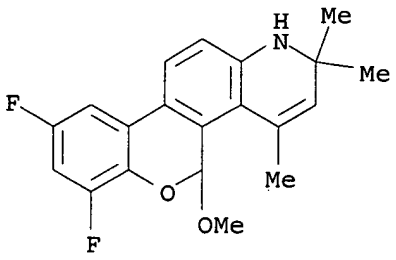
R3 = H, C1-C6 alkyl, or C1-C6 haloalkyl; or R2 and R3 together form a cycloalkyl ring; R4 through R7 = H, halo, CN, OR11, C1-C4 alkyl, C1-C4 haloalkyl, or C1-C4 heteroalkyl; or R5 and R7 taken together form a bond; or R6 and R7 together = methylidene, mono-substituted methylidene, di-substituted methylidene and carbonyl; R8 through R10 = H, halo, NO2, CN, OR11, NR11, R12, SR11, COR11, CO2R11, CONR11R12, C1-C8 heteroalkyl, C1-C8 haloalkyl, alkyl, C2-C8 alkenyl or C2-C8 alkynyl; R11 and R12 = H, C1-C4 alkyl, C1-C4 heteroalkyl, or C1-C4 haloalkyl; R13 is H; or R13 and R14 together form a bond; R14 through R20 = H, halo, OR11, C1-C4 alkyl, C1-C4 haloalkyl, or C1-C4 heteroalkyl; or R14 and R15 together = methylidene, carbonyl or thiocarbonyl; or R16 and R17 together = methylidene, mono-substituted methylidene, di-substituted methylidene, carbonyl or thiocarbonyl; or R14 and R16 together form a bond or "-O-" bridge; or R16 and R18 together form a bond when n is 1; or R16 and R19 together form a bond when n is 0; R21 = H; or R21 and R20 together form a bond; n is 0, 1, 2, or 3; or a pharmaceutically acceptable salt or prodrug thereof. The present invention also claims pharmaceutical compns. containing I, and use of the compds. for treating conditions mediated by progesterone receptors. Also provided are methods of making I.

IT **852359-25-2**

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5-cycloalkenyl 5H-chromeno[3,4-f]quinoline derivs. as selective progesterone receptor modulator compds. for treating various disorders)

RN 852359-25-2 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-5-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:85311 CAPLUS

DOCUMENT NUMBER: 143:193990

TITLE: Preparation of 5-substituted 7,9-difluoro-5h-chromeno[3,4-f]quinoline compounds as selective progesterone receptor modulators

INVENTOR(S): Zhi, Lin; Van Oeveren, Cornelis Arjan; Pedram, Bijan; Karanewsky, Donald

PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA

SOURCE: Short-Term Pat. Specif. (Hong Kong), 108 pp.
CODEN: HKXXAR

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HK 1055059	A2	20031205	HK 2003-105598	20030804
DE 20301728	U1	20040415	DE 2003-20301728	20030204
CA 2501834	AA	20040422	CA 2003-2501834	20030804
WO 2004033461	A1	20040422	WO 2003-US24420	20030804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1554283	A1	20050720	EP 2003-808052		20030804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2004152717	A1	20040805	US 2003-684212		20031010
PRIORITY APPLN. INFO.:			US 2002-417968P	P	20021011
			WO 2003-US24420	W	20030804

OTHER SOURCE(S): MARPAT 143:193990
GI

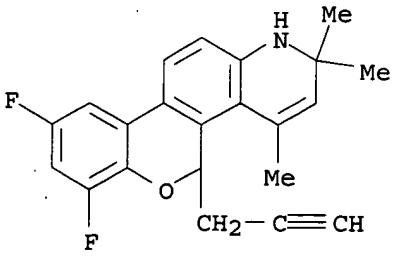
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [wherein R1 = (un)substituted hetero/halo/alk(en/yn)yl, hetero/aryl; R2 = H, F, Cl, Br, I, (un)substituted hetero/halo/alk(en/yn)yl, hetero/aryl; and their pharmaceutically acceptable salts and prodrugs] were prepared as selective progesterone receptor modulators. Thus, reacting 7,9-difluoro-1,2-dihydro-2,2,4-trimethyl-5-coumarino[3,4-f]quinoline with 4-picolyllithium gave (Z)-II as a yellow solid. In a test for agonist activity at progesterone receptors expressed in CV-1 cells, (Z)-II had an efficacy (maximum response) of 103% vs. progesterone, and an agonist potency (EC50) of 7.4 nM. I may suppress estrogen-induced endometrial stimulation in uterus equally efficacious as marketed steroidal modulator compds. Three pharmaceutical compns. ar given.

IT **861926-68-3P**, 7,9-Difluoro-5-(2-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate; preparation of difluorochromenoquinolines as selective progesterone receptor modulators)

RN 861926-68-3 CAPLUS

CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propynyl)- (9CI) (CA INDEX NAME)

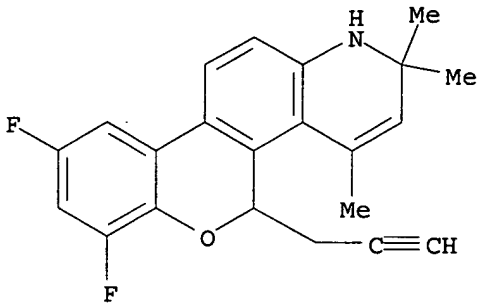


IT **861926-69-4P**, (-)-7,9-Difluoro-5-(2-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-85-4P**, (+)-7,9-Difluoro-5-(2-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-

chromeno[3,4-f]quinoline
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of difluorochromenoquinolines as selective progesterone receptor modulators)

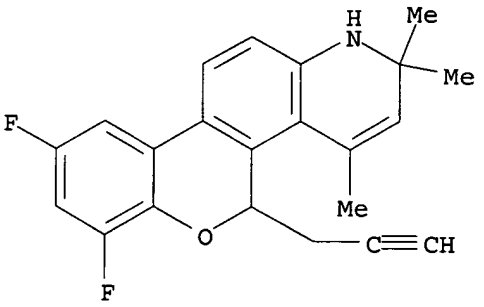
RN 861926-69-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propynyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 861926-85-4 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propynyl)-, (+)- (9CI) (CA INDEX NAME)

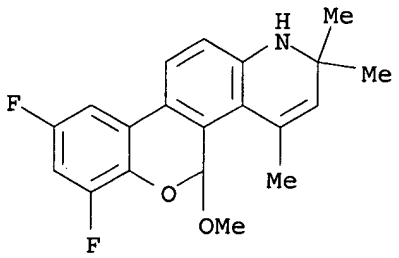
Rotation (+).



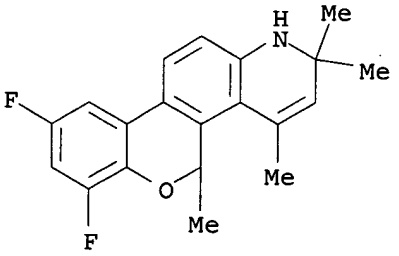
IT **852359-25-2P**, 7,9-Difluoro-5-methoxy-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-42-3P**, 7,9-Difluoro-1,2-dihydro-2,2,4,5-tetramethyl-5-chromeno[3,4-f]quinoline **861926-43-4P**, 7,9-Difluoro-5-(2-oxo-2-phenylethyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-44-5P**, 7,9-Difluoro-5-ethyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-45-6P**, 7,9-Difluoro-5-ethenyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-46-7P**, 7,9-Difluoro-5-(2-oxo-3-butenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-47-8P**, Methyl 7,9-difluoro-1,2-dihydro-α,α,2,2,4-pentamethyl-5H-chromeno[3,4-f]quinoline-5-ethanoate **861926-48-9P**, 7,9-Difluoro-5-ethynyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-49-0P**, 7,9-Difluoro-5-cyano-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-50-3P**, 7,9-Difluoro-5-butyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-53-6P**, 7,9-Difluoro-5-allyl-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-55-8P**, Ethyl 7,9-difluoro-1,2-dihydro-α-methylene-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline-5-propanoate

861926-56-9P, 7,9-Difluoro-1,2-dihydro-β-methylene-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline-5-propanol **861926-57-0P**, 7,9-Difluoro-1,2-dihydro-β-methylene-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline-5-propanol acetate **861926-58-1P**, 7,9-Difluoro-5-(1-methylethenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-60-5P**, 7,9-Difluoro-5-(phenylethynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-70-7P**, 7,9-Difluoro-5-(1-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-71-8P**, (-)-7,9-Difluoro-5-(1-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-72-9P**, (+)-7,9-Difluoro-5-(1-propynyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline **861926-75-2P**, 7,9-Difluoro-5-(2-methyl-1-propenyl)-1,2-dihydro-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of difluorochromenoquinolines as selective progesterone receptor modulators)

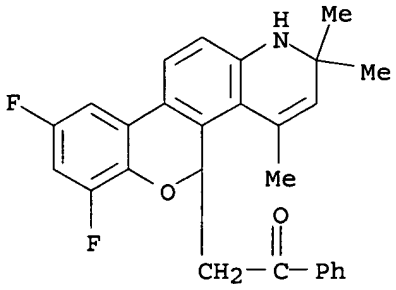
RN 852359-25-2 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-5-methoxy-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



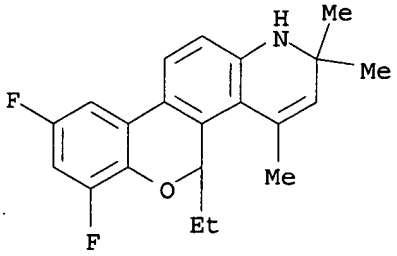
RN 861926-42-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4,5-tetramethyl- (9CI) (CA INDEX NAME)



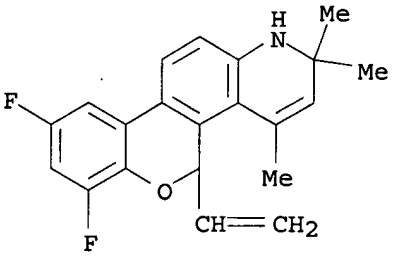
RN 861926-43-4 CAPLUS
CN Ethanone, 2-(7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)-1-phenyl- (9CI) (CA INDEX NAME)



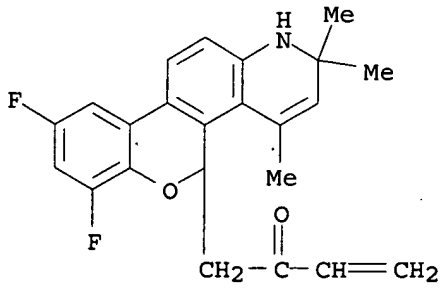
RN 861926-44-5 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-ethyl-7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



RN 861926-45-6 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-ethenyl-7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)

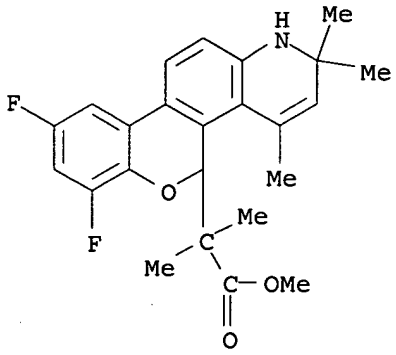


RN 861926-46-7 CAPLUS
CN 3-Buten-2-one, 1-(7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-1H-[1]benzopyrano[3,4-f]quinolin-5-yl)- (9CI) (CA INDEX NAME)

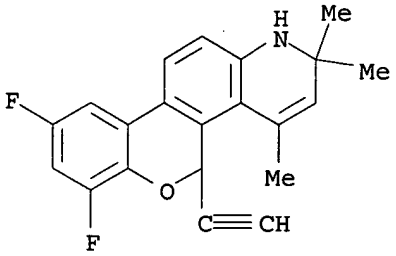


RN 861926-47-8 CAPLUS

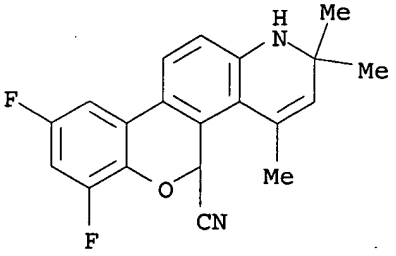
CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-acetic acid, 7,9-difluoro-2,5-dihydro-α,α,2,2,4-pentamethyl-, methyl ester (9CI) (CA INDEX NAME)



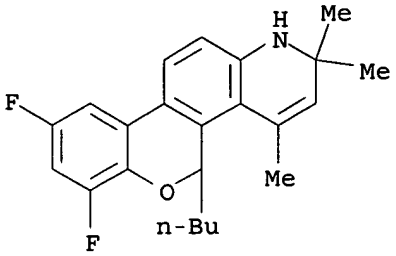
RN 861926-48-9 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-ethynyl-7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



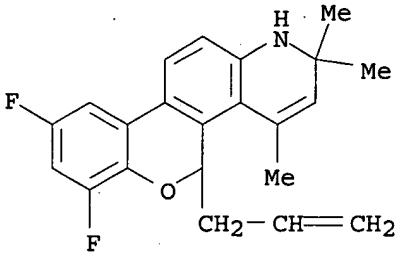
RN 861926-49-0 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-carbonitrile, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



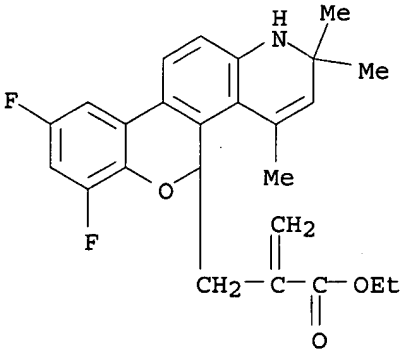
RN 861926-50-3 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 5-butyl-7,9-difluoro-2,5-dihydro-2,2,4-trimethyl- (9CI) (CA INDEX NAME)



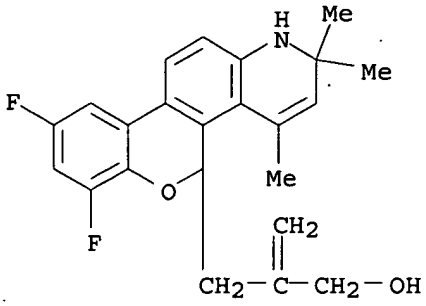
RN 861926-53-6 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-propenyl)- (9CI) (CA INDEX NAME)



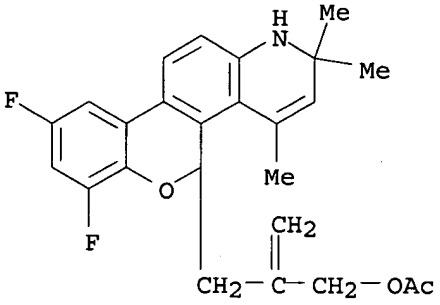
RN 861926-55-8 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-propanoic acid, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-α-methylene-, ethyl ester (9CI) (CA INDEX NAME)



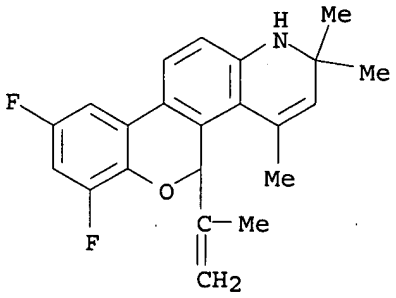
RN 861926-56-9 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-propanol, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-β-methylene- (9CI) (CA INDEX NAME)



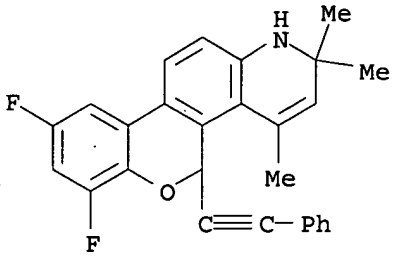
RN 861926-57-0 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline-5-propanol, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-β-methylene-, acetate (ester) (9CI) (CA INDEX NAME)



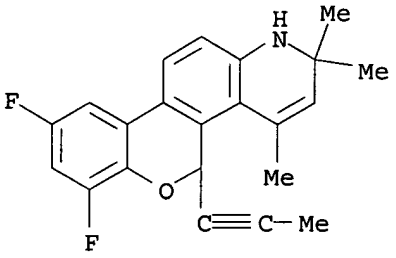
RN 861926-58-1 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-methylethenyl)- (9CI) (CA INDEX NAME)



RN 861926-60-5 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(phenylethynyl)- (9CI) (CA INDEX NAME)

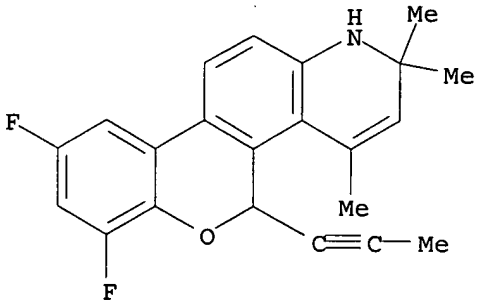


RN 861926-70-7 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-propynyl)- (9CI) (CA INDEX NAME)



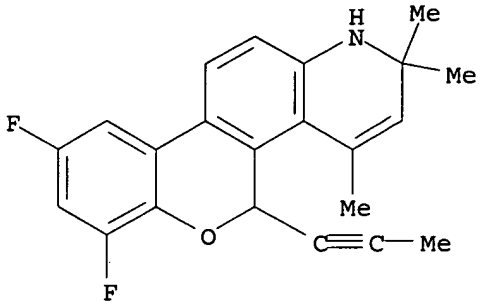
RN 861926-71-8 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-propynyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

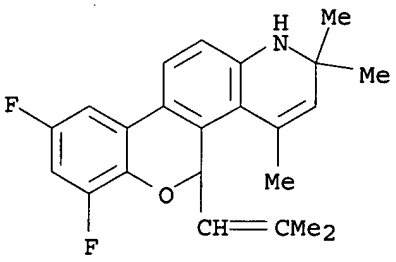


RN 861926-72-9 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(1-propynyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 861926-75-2 CAPLUS
CN 1H-[1]Benzopyrano[3,4-f]quinoline, 7,9-difluoro-2,5-dihydro-2,2,4-trimethyl-5-(2-methyl-1-propenyl)- (9CI) (CA INDEX NAME)



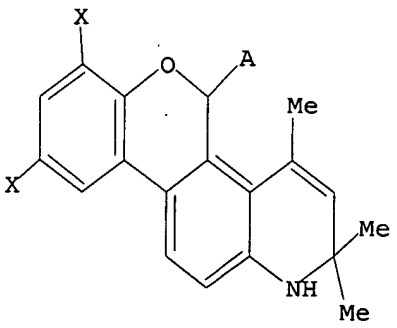
=> d his

(FILE 'HOME' ENTERED AT 11:27:35 ON 04 OCT 2005)

FILE 'REGISTRY' ENTERED AT 11:27:49 ON 04 OCT 2005
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 23 S L1 FULL

FILE 'CAPLUS' ENTERED AT 11:28:22 ON 04 OCT 2005
L4 2 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.